

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S18	8	S16 and trifluormethylphenyl	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 14:13
S17	0	S16 and trifluormethylphenyl and acetamido	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 14:13
S16	9230	MEISSNER.in. or perkins.in.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 14:13
S3	101	"4220775"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 15:03
S19	1607	(514/80.icls. or 514/80.ccls. or 514/284.icls. or 514/284.ccls. or 546/23.icls. or 546/77.icls or 546/23.ccls. or 546/77.ccls)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 15:06
L1	1704	(514/80.icls. or 514/80.ccls. or 514/284.icls. or 514/284.ccls. or 546/23.icls. or 546/77.icls or 546/23.ccls. or 546/77.ccls or 546/23.fs. or 546/77.fs or 514/80.fs. or 514/284.fs.)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 15:08
L4	3	I1 and trifluoromethyl and acetamide and L3	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 15:09
L3	9230	MEISSNER.in. or perkins.in.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 15:09
L6	6	I1 and trifluoromethyl.clm. and acetamide and androst.clm.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 15:11

## EAST Search History

L5	64	l1 and trifluoromethyl.clm. and acetamide	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 15:11
L2	136	l1 and trifluoromethyl and acetamide	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	ADJ	OFF	2007/10/29 15:11

10/551975

## Structure Search

=&gt; dup rem 158 144

L44 HAS NO-ANSWERS

FILE 'HCAPLUS' ENTERED AT 13:40:26 ON 29 JAN 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 29 Jan 2007 VOL 146 ISS 6

FILE LAST UPDATED: 28 Jan 2007 (20070128/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

PROCESSING COMPLETED FOR L58

PROCESSING COMPLETED FOR L44

L59 4 DUP REM L58 L44 (0 DUPLICATES REMOVED)

ANSWERS '1-4' FROM FILE HCAPLUS

=&gt; d 159 1-4 ibib abs ed hitstr hitind

L59 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2007 ACS-on-STN

ACCESSION NUMBER: 2004:1015853 HCAPLUS Full-text

DOCUMENT NUMBER: 142:1359

TITLE: Identification and synthesis of androgen receptor modulators and therapeutic uses thereof

INVENTOR(S): Meissner, Robert S.; Perkins, James J.

PATENT ASSIGNEE(S): Merck &amp; Co., Inc., USA

SOURCE: PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100874	A2	20041125	WO 2004-US13787	2004 0503

WO 2004100874 A3 20060126

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI,

10/551975

CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2004238238 A1 20041125 AU 2004-238238

2004  
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CA 2524409 A1 20041125 CA 2004-2524409

2004  
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EP 1622567 A2 20060208 EP 2004-751257

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CN 1784236 A 20060607 CN 2004-80012253

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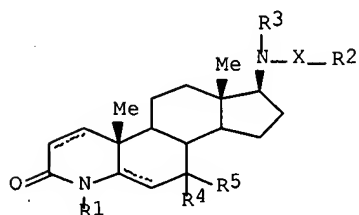
US 2003-468579P P

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WO 2004-US13787 W

2004  
 0503

OTHER SOURCE(S): MARPAT 142:1359  
 GI



I

AB Compds. of structural formula (I) as herein defined are disclosed as useful in a method for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of agonizing the androgen receptor in a patient, and in particular the method wherein the androgen receptor is antagonized in the prostate of a male patient or in the uterus of a female patient and agonized in bone and/or muscle tissue. Method for the synthesis of those compds., as well as techniques for the screening of androgen receptor modulation capacity of those compds. are exemplified. These compds. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including: osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, post-menopausal symptoms in women, female sexual dysfunction, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, arthritis and joint repair, alone or in combination with other active agents. In addition, these compds. are useful as pharmaceutical composition ingredients alone and in combination with other active agents.

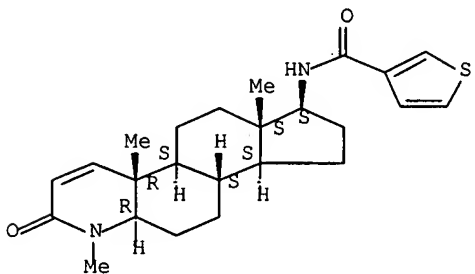
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796885-98-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(identification and synthesis of androgen receptor modulators  
and therapeutic uses thereof)

RN 154112-31-9 HCAPLUS  
CN 3-Thiophenecarboxamide, N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-  
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-  
trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX  
NAME)

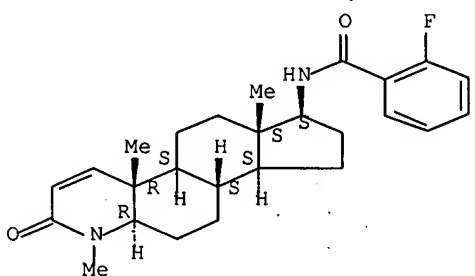
Absolute stereochemistry.



RN 154112-38-6 HCAPLUS  
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2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-  
trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX  
NAME)

*Refer*  
*(Witzel, structure search, P-102)*  
*attached*

Absolute stereochemistry.

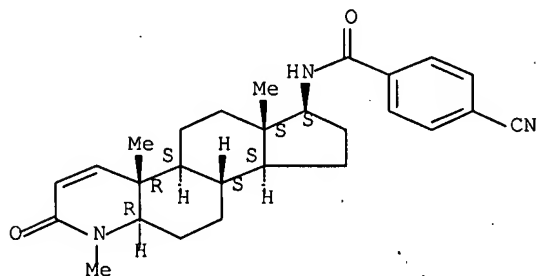


RN 154112-39-7 HCAPLUS  
CN Benzamide, 4-cyano-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-

10/551975

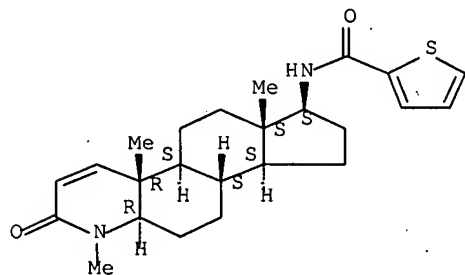
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



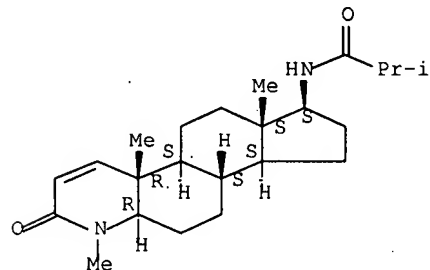
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CN 2-Thiophenecarboxamide, N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 154112-55-7 HCAPLUS  
CN Propanamide, 2-methyl-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*Refer*  
*(Witzel, structure search, P-108)*  
*attached*

10/551975

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RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation);  
 BIOL (Biological study); PREP (Preparation)

(preparation of, as testosterone 5 $\alpha$ -reductase inhibitor)

L59 ANSWER 4 OF 4 HCAPLUS COPYRIGHT-2007-ACS on STN

ACCESSION NUMBER: 1994:457778 HCAPLUS Full-text

DOCUMENT NUMBER: 121:57778

TITLE: 17-Amino-substituted 4-azasteroid

5 $\alpha$ -reductase inhibitors

INVENTOR(S): Witzel, Bruce E.; Bergman, Jeffrey P.; Tolman, Richard L.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323038	A1	19931125	WO 1993-US4633	1993 0517
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342506	A	19931213	AU 1993-42506	1993 0517
AU 675225	B2	19970130		
EP 649431	A1	19950426	EP 1993-911332	1993 0517
EP 649431	B1	19990811		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07508034	T	19950907	JP 1993-503780	1993 0517
AT 183193	T	19990815	AT 1993-911332	1993 0517
US 5639741	A	19970617	US 1995-338472	1995 0320

PRIORITY APPLN. INFO.:

US 1992-886057

A2

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1992

0520

WO 1993-US4633

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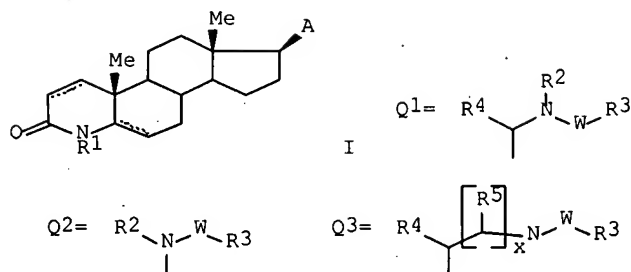
1993

0517

OTHER SOURCE(S):

MARPAT 121:57778

GI



AB Novel 4-azasteroids; useful as 5 $\alpha$ -reductase inhibitors, are claimed, as well as pharmaceutically acceptable salts and formulations thereof. The compds. have formula I [A = amide-containing sidechains Q1, Q2, Q3; R1 = H, Me, Et; R2 = H, C1-20 alkyl; R3 = H, (un)substituted alk(en)yl, (hetero)aryl, cycloalk(en)yl; R4 = H, C1-20 alkyl, (un)substituted (hetero)aryl; R5 = H, C1-12 alkyl; W = CO, SO<sub>2</sub>; x = 1-25; optional  $\Delta$ 1 and/or  $\Delta$ 5]. I are effective in inhibiting testosterone 5 $\alpha$ -reductase(s) (no data) and are thus useful in the treatment of a number of hyperandrogenic conditions including benign prostatic hypertrophy, acne, seborrhea, female hirsutism, and male and female pattern baldness (alopecia). Over 230 specific compds. are claimed by name, syntheses of several are described, and identifying NMR peaks for approx. 25 compds. are also given. For example, 4-methyl-3-oxo-5 $\alpha$ -4-azaandrostan-17 $\beta$ -carboxaldehyde was converted to the oxime, followed by hydrogenation, to give its 17 $\beta$ -aminomethyl analog. Amidation of this with 12-(isopropylthio)dodecanoic acid using DCC and DMAP gave I [R1 = Me, A = CH<sub>2</sub>NHCO(CH<sub>2</sub>)<sub>11</sub>SCMe<sub>2</sub>, double bonds absent].

ED Entered STN: 06 Aug 1994

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 154112-27-3P 154112-28-4P 154112-29-5P  
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RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation);

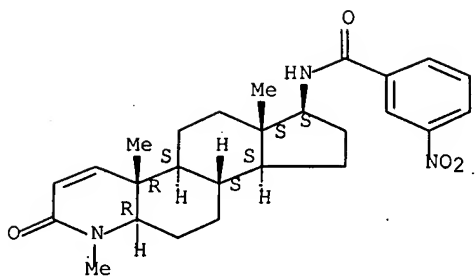
BIOL (Biological study); PREP (Preparation)

(preparation of, as 5 $\alpha$ -reductase inhibitor)

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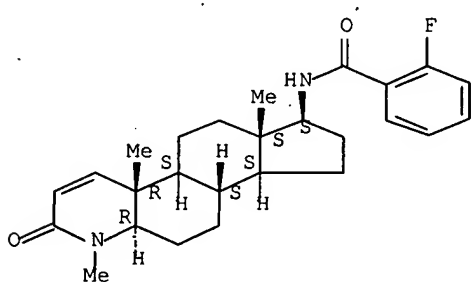


## Structure Search



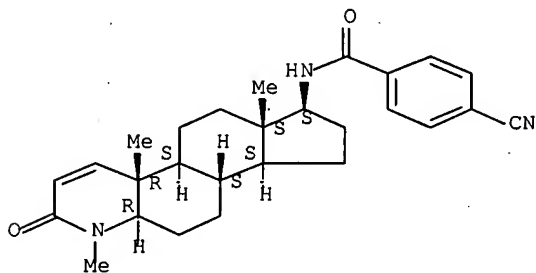
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 trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.



RN 154112-39-7 HCAPLUS  
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 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-  
 trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.

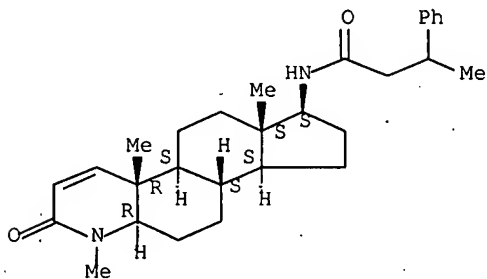


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 trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX  
 NAME)

10/551975

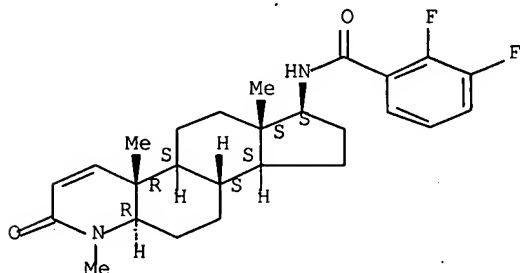
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Absolute stereochemistry.



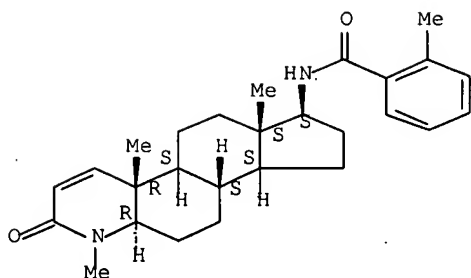
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NAME)

Absolute stereochemistry.



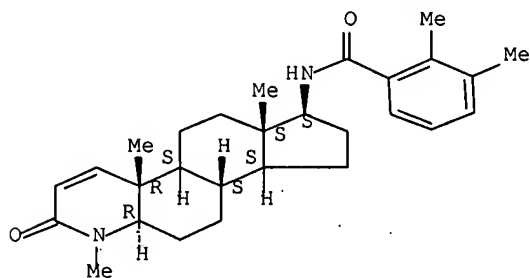
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trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.



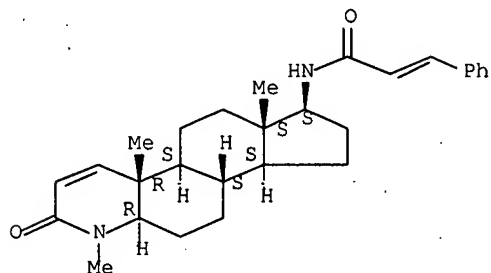
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 NAME)

Absolute stereochemistry.



RN 154112-50-2 HCAPLUS  
 CN 2-Propenamide, 3-phenyl-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-  
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 trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.

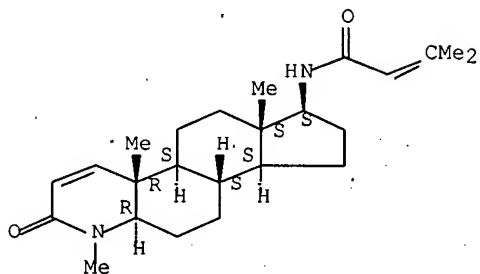


RN 154112-51-3 HCAPLUS  
 CN 2-Butenamide, 3-methyl-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-  
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-  
 trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX  
 NAME)

10/551975

NAME)

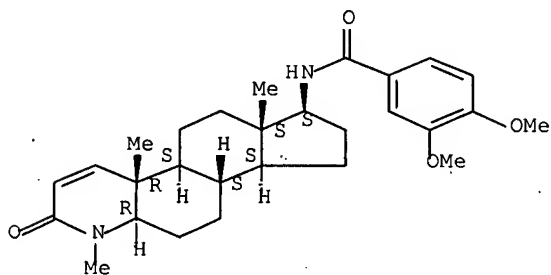
Absolute stereochemistry.



RN 154112-52-4 HCAPLUS

CN Benzamide, 3,4-dimethoxy-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

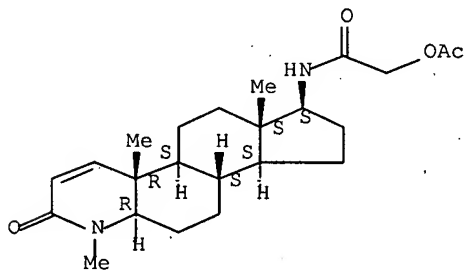
Absolute stereochemistry.



RN 154112-53-5 HCAPLUS

CN Acetamide, 2-(acetyloxy)-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

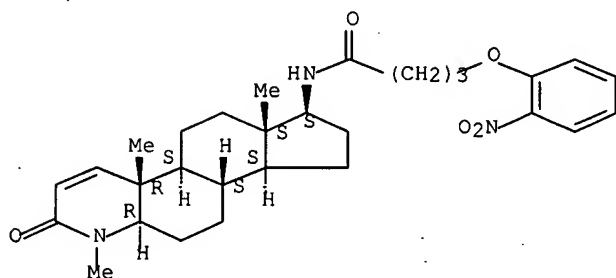


RN 154112-54-6 HCAPLUS

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CN Butanamide, 4-(2-nitrophenoxy)-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

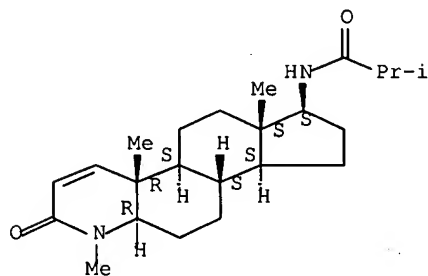
Absolute stereochemistry.



RN 154112-55-7 HCAPLUS

CN Propanamide, 2-methyl-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

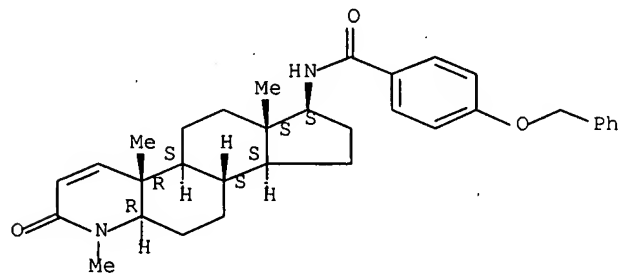
Absolute stereochemistry.



RN 154112-56-8 HCAPLUS

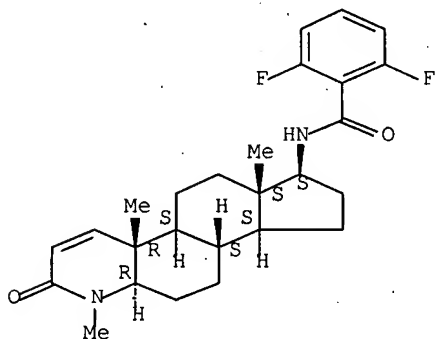
CN Benzamide, 4-(phenylmethoxy)-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



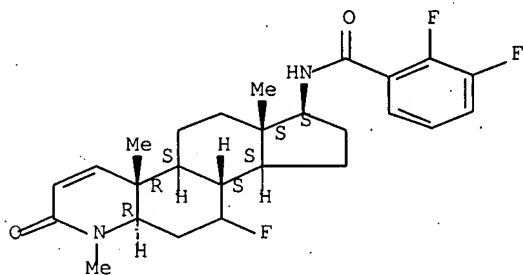
RN 154112-71-7 HCAPLUS  
 CN Benzamide, 2,6-difluoro-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 154112-72-8 HCAPLUS  
 CN Benzamide, 2,3-difluoro-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-10-fluoro-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-435

ICS C07D221-02

CC 32-4 (Steroids)

Section cross-reference(s): 1, 2

IT	86307-05-3P	154110-65-3P	154110-66-4P	154110-67-5P
	154110-68-6P	154110-69-7P	154110-70-0P	154110-71-1P
	154110-72-2P	154110-73-3P	154110-74-4P	154110-75-5P
	154110-76-6P	154110-77-7P	154110-78-8P	154110-79-9P
	154110-80-2P	154110-81-3P	154110-82-4P	154110-83-5P
	154110-84-6P	154110-85-7P	154110-86-8P	154110-87-9P
	154110-88-0P	154110-89-1P	154110-90-4P	154110-91-5P
	154110-92-6P	154110-93-7P	154110-94-8P	154110-95-9P
	154110-96-0P	154110-97-1P	154110-98-2P	154110-99-3P
	154111-00-9P	154111-01-0P	154111-02-1P	154111-03-2P
	154111-04-3P	154111-05-4P	154111-06-5P	154111-07-6P
	154111-08-7P	154111-09-8P	154111-10-1P	154111-11-2P